

Application No. 09/904,459  
Amdt. dated December 23, 2003  
Reply to Office Action of September 24, 2003  
Docket No. 0508-1068

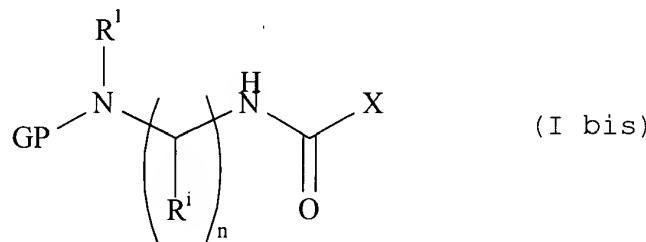
AMENDMENTS TO THE CLAIMS:

This listing of claims will replace all prior versions,  
and listings, of claims in the application:

LISTING OF CLAIMS:

1-39 (canceled)

40. (new) A compound having the formula (I bis)



wherein

- "n" is a whole number greater than or equal to 1,
- "i" is a whole number varying from 2 to n+1,
- GP represents a protective group selected from a hydrogen atom, an oxycarbonyl (ROCO), acyl, alkyl, aryl, urea, phthalimide (with R<sup>1</sup> = Ø), biotin, O<sub>2</sub> (with R<sup>1</sup> = Ø) group, or the "GP-N" entity forms an "NH<sub>2</sub><sup>+</sup>" entity,
- groups R<sup>1</sup> and R<sup>i</sup> can each represent independently from each other: a hydrogen, a halogen, the protected or unprotected side chain of an amino acid selected from natural and synthetic amino acids, a (C<sub>1</sub>-C<sub>20</sub>) alkyl group, an alkyl group whose cyclic structure contains 5 to 20 carbon atoms, a

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Docket No. 0508-1068

group  $OR_a$ ,  $NH_2$ ,  $OH$ ,  $-COOR_a$ ,  $-CONHR_a$ ,  $-CONH_2$ ,  $-CH_2COOR_a$ ,

$CH_2CONHR_a$ ,  $-CH_2CONH_2$ ,

$R_a$  representing an allyl, benzyl, t-butyl, fluorenylmethyl, alkyl having 1 to 20 carbon atoms group, or an aryl group whose cyclic structure contains 5 to 20 carbon atoms,

- X group represents a group conferring on the compound of formula (I bis) a structure of an activated derivative of carbamic acid, wherein said X group is a compound selected from phenols, optionally substituted with at least one nitro or at least one halogen, or hydroxylamine, or hydroxy-1,2,3-benzotriazole, 1-oxo-2-hydroxydihydrobenzotriazine (HODhbt), 7-aza-1-hydroxy-benzotriazole (HOAt), 4-aza-1-hydroxy-benzotriazole (4-HOAt), imidazole and tetrazole,

-  $R^1$  and  $R^i$  groups can also form a cycle, and wherein said compound is not one of the following compounds selected from the group consisting of:

$n=2$ ,  $GP=Boc$ ,  $R^1=isobutyl$ ,  $R^2=R^3=H$ ,  $X=4$ -nitrophenol;

$n=2$ ,  $GP=Boc$ ,  $R^1=benzyl$ ,  $R^2=R^3=H$ ,  $X=4$ -nitrophenol;

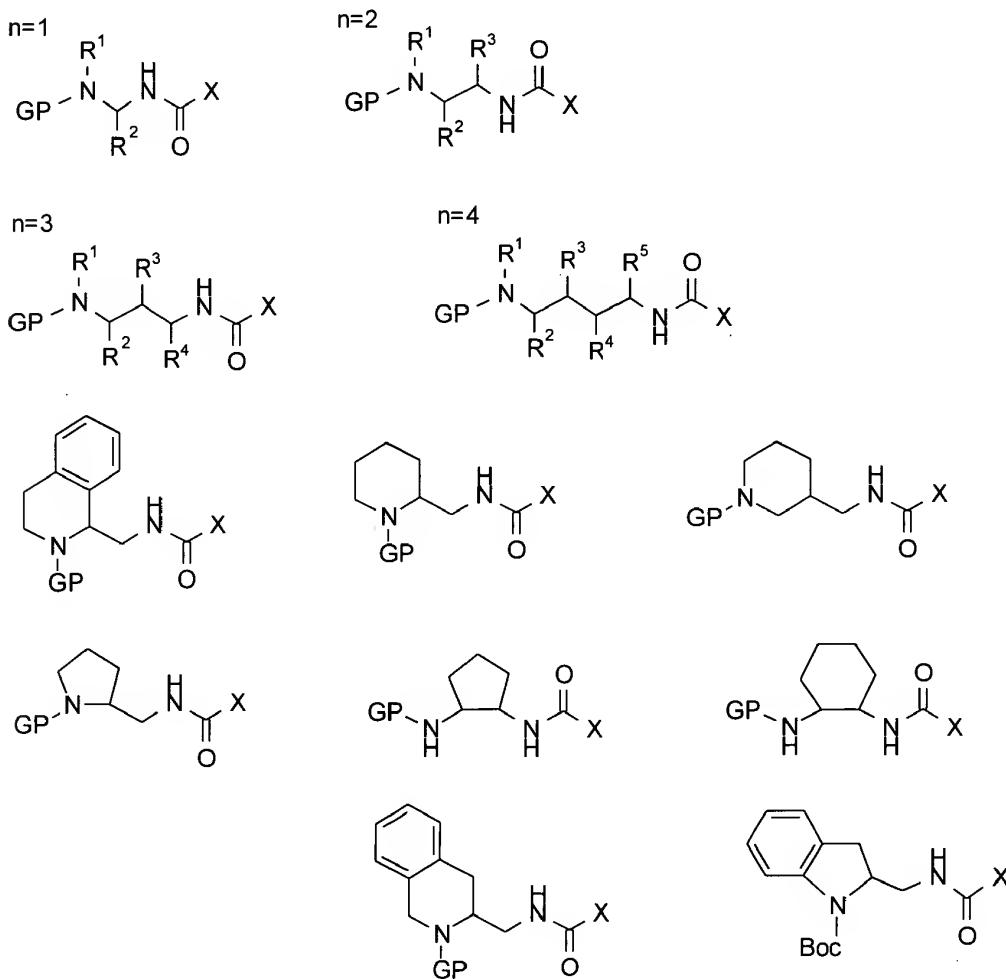
$n=2$ ,  $GP=Boc$ ,  $R^1=CH_2-p-C_6H_4O-t-Bu$ ,  $R^2=R^3=H$ ,  $X=4$ -nitrophenol;

and

$n=2$ ,  $GP=Boc$ ,  $R^1=H$ ,  $R^2=R^3=H$ ,  $X=4$ -nitrophenol.

41. (new) The compound according to claim 40, having the formula (I bis) in which  $1 < n < 4$ , and X is from p-nitrophenol, N-hydroxysuccinimide, pentafluorophenol, hydroxy-1,2,3-benzotriazole or imidazole, and GP is an oxycarbonyl group or acyl group.

42. (new) The compound according to claim 41, having one of the following formulas:



43. (new) The compound according to claim 42,  
wherein X is a N-hydroxysuccinimide group.

44. (new) The compound according to claim 40,  
wherein the aryl group is substituted with 1 to 6  
substituents selected from the group consisting of an alkyl  
of 1 to 10 carbon atoms, alkoxy of 1 to 10 carbon atoms,  
amine of 1 to 10 carbon atoms, ester of 1 to 10 carbon atoms,  
urea, amide of 1 to 10 carbon atoms, carboxylic acid of 1 to  
10 carbon atoms, hydroxyl, nitrile, nitro, guanidine, aryl  
whose cyclic structure contains 5 to 20 carbon atoms, and a  
halogen atom.

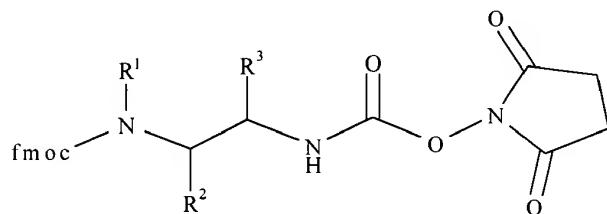
45. (new) The compound according to claim 40,  
wherein the alkyl group is substituted with one or several  
substituents selected from the group consisting of -COOR<sub>h</sub>, -  
CONHR<sub>h</sub>, -COOH, -OH, -OR<sub>h</sub>, -NHR<sub>h</sub>, -NH<sub>2</sub>, -NH(CO)R<sub>h</sub>, aryl whose  
cyclic structure contains 5 to 20 carbon atoms, halogen,  
carbonyl of 1 to 10 carbon atoms, nitrile, and guanidine,  
R<sub>h</sub> representing an allyl, benzyl, t-butyl,  
fluorenylmethyl, alkyl group having 1 to 20 carbon atoms, or  
an aryl group whose cyclic structure contains 5 to 20 carbon  
atoms.

Application No. 09/904,459  
Amdt. dated December 23, 2003  
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Docket No. 0508-1068

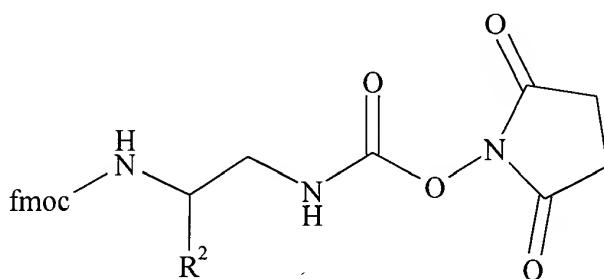
46. (new) The compounds according to claim 43,  
wherein GP is an oxycarbonyl group.

47. (new) The compound according to claim 46, wherein  
GP is a Fmoc or Boc group.

48. (new) The compound according to claim 41,  
wherein GP is a Fmoc group and n = 2, having the following  
formula:

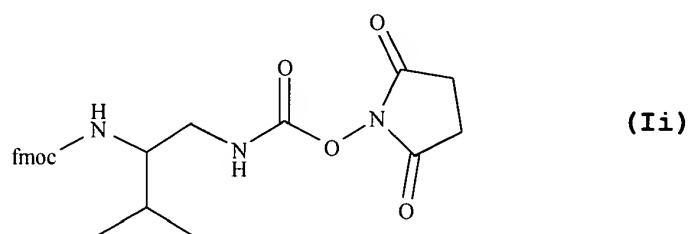


49. (new) The compound according to claim 48, wherein  
 $R^1$  and  $R^3$  represent hydrogen atoms, said compounds having the  
following formula:



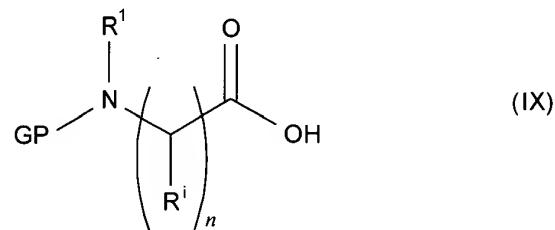
50. (new) The compound according to claim 43, wherein R<sup>2</sup> represents a (C<sub>1</sub>-C<sub>20</sub>) alkyl group, optionally substituted with a phenyl group, and wherein said phenyl group is optionally substituted with an alkoxy group.

51. (new) The compounds according to claim 44, having the following formula:

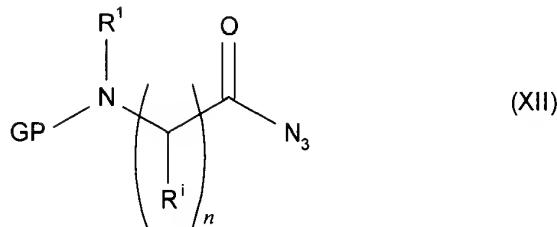


52. (new) A process for preparing of a compound according to claim 40, comprising:

providing a compound of formula (IX)



transforming said compound (IX) into a corresponding acyl azide (XII)



transforming said acyl azide (XII) by Curtius rearrangement into a corresponding isocyanate (II), treating said isocyanate (II) under conditions that provide a carbamic acid compound of formula I bis.

53. (new) The process according to claim 52, wherein transforming said compound (IX) into a corresponding acyl azide (XII) is carried out by treatment of a mixed anhydride, formed by the reaction of acid compound (IX) with ethyl or isobutyl chloroformate in the presence of a tertiary amine, wherein said tertiary amine is NMM (N-methylmorpholine), DIEA (di-isopropylethylamine), or Et<sub>3</sub>N in THF (tetrahydrofuran) with a sodium azide solution,

- wherein said step of transforming acyl azide (XII) into a corresponding isocyanate (II), is carried out by heating a solution of acyl azide in a solvent, and
- wherein a compound selected from the group consisting of N-hydroxysuccinimide, phenol, penta-fluorophenol, pentachlorophenol, p-nitrophenol, 2,4-dinitrophenol, 2,4,5-

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trichlorophenol, 2,4-dichloro-6-nitro-phenol, hydroxy-1,2,3-benzotriazole, imidazole, tetrazole, 1-oxo-2-hydroxydi-hydrobenzo-triazine (HODhbt), 7-aza-1-hydroxybenzotriazole (HOAt) and 4-aza-1-hydroxybenzo-triazole (4-HOAt), is the compound treating isocyanate (II) to obtain a carbamic acid derivative of formula (I bis).